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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
 * * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

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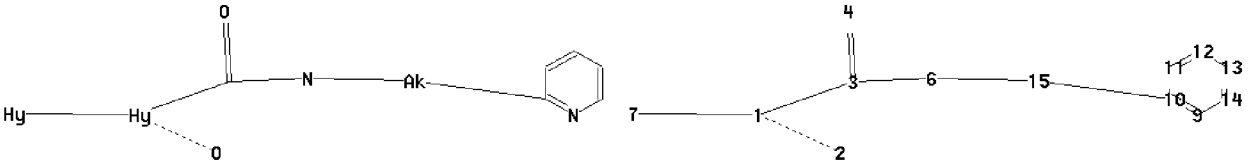


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ring nodes :
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1-3  1-2  1-7  3-4  3-6  6-15  10-15
ring bonds :
9-10  9-14  10-11  11-12  12-13  13-14
exact/norm bonds :
1-3  1-2  1-7  3-4  3-6  6-15  10-15
normalized bonds :
9-10  9-14  10-11  11-12  12-13  13-14
isolated ring systems :
containing 9 :


Match level :
1:Atom  2:CLASS  3:CLASS  4:CLASS  6:CLASS  7:Atom  9:Atom  10:Atom  11:Atom
12:Atom  13:Atom  14:Atom  15:CLASS
Generic attributes :
7:
Saturation             : Unsaturated
Number of Carbon Atoms : less than 7

Element Count :
Node 1: Limited
    N,Exact,1

Node 7: Limited
    N,Exact,2


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=> s l4 full
L6           12 SEA SSS FUL L4

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=> s l6
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L7           5 L6

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L8           1 L7 AND PD<SEPT 2004

=> dis l8 bib abs hitstr

L8   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2011 ACS on STN
AN   2003:58080  CAPLUS  Full-text
DN   138:106603
TI   Preparation of 4-substituted-picolinic acid amide derivatives useful as
     agrochemical fungicides
IN   Hutin, Pierre; Muller, Benoit; Steele, Christopher Richard; Perez, Joseph;
     Genix, Pierre
PA   Aventis CropScience SA, Fr.
SO   PCT Int. Appl., 59 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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     FR 2827286            A1    20030117    FR 2001-9195           20010711 <--
     AU 2002317880         A1    20030129    AU 2002-317880         20020705 <--
     EP 1404666            A1    20040407    EP 2002-747474         20020705 <--
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     JP 2004534098         T     20041111    JP 2003-512228          20020705
     JP 4387188            B2    20091216
     AT 432271             T     20090615    AT 2002-747474          20020705
     ES 2325829            T3    20090921    ES 2002-747474          20020705
     US 20040142977        A1    20040722    US 2004-483513          20040322 <--
     US 6953807            B2    20051011
PRAI FR 2001-9195          A     20010711
     WO 2002-EP8665        W     20020705
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   MARPAT 138:106603
GI
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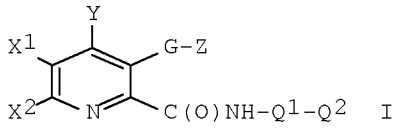
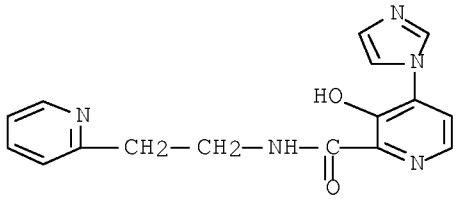


AB 4-Substituted-picolinic acid amide derivs. (shown as I; variables defined below; e.g. 2-[[(3-(trifluoromethyl)phenyl)amino]carbonyl]-3-hydroxy-4-(imidazol-1-yl)pyridine), process for their preparing, fungicidal compns. comprising them, and a method for treating plants are claimed. For I: Y = -(CR5R6)kCHO, -(CR5R6)k-Het, -(CR5R6)kCH:NQ3 (k = 0-2; Het = 5-6- membered saturated or partially unsatd. or aromatic ring containing 1-3 heteroatoms = N, O, and S which can be identical or different and which can be substituted by one or two -R5; Q3 = -R1 or -OR). G = -(CH2)m-, -O-, -S- and -NR1; Z = -R1, C1-C4 alkylene, C1-C4 alkylyne, -Si(R1)3, -(CH2)p-OMe, -(CH2)p-SMe, -CH2O2CR1, -C(O)OnR1, (CH2)pC(O)C6H4R1, -C(O)NR1R3, -CH2On(CH2)pC6H4R2, S(O)2C6H4OR2 and (CH2)pC6H4OR1. X1 and X2 = H, halogen, -CF3, cyano and nitro; Q1 = -(CH2)q-, -(CH2)qC6H4R4r, pyrazolyl, R4r-substituted cyclohexyl, -(CH2)q(R4r-substituted pyridin-3-yl); Q2 -(O)n-R5, cyano, -On(CH2)jC6H4R5t, -(CH2)jC6H4OnR5, -On(CH2)j(R5t-substituted pyridin-2-yl, pyrazol-1-yl, thien-2-yl), -2-R7-2-R8benzodioxol-5-yl and 2-R5-3-R6-2,3-dihydrobenzodioxin-6-yl. R1 = H or C1-C4 alkyl; R2 = H, halogen, C1-C4 alkyl, C1-C4 alkoxy, C1-C4 haloalkyl and C1-C4 haloalkoxy; R3 = H, C1-C4 alkyl and C1-C4 alkoxyalkyl; R4 halo, C1-C4 alkyl and C1-C4 alkoxyalkyl; R5 and R6 = H, halo, C1-C4 alkyl, C1-C4 haloalkyl; R7 and R8 = H and halo; n is 0 or 1; j, m, p, q and t = 0-4; r is 0-3. In vivo test on Alternaria brassicae (Leaf spot of crucifers) gave good (at least 50%) or total protection at a dose of 500 g/ha with 45 of I. In vivo test on Septoria nodorum (wheat Glume blotch) gave good (at least 50%) or total protection at a dose of 500 g/ha with 38 of I. In vivo test on Erysiphe graminis f. sp. tritici (powdery mildew of wheat) gave good (at least 50%) or total protection at a dose of 500 g/ha with 51 of I. In vivo test on Septoria tritici (Leaf spot of wheat) gave good (at least 50%) protection at a dose of 500 g/ha with 33 of I. In vivo test on Puccinia recondita (Wheat brown rust) gave good (at least 50%) protection at a dose of 500 g/ha with 21 of I. In vivo test on Botrytis cinerea (cucumber Gray mold) gave good (at least 50%) protection at a dose of 500 g/ha with 8 of I. Six example prepns. of I are included as well as several example prepns. of intermediates. For example, 2-[[(3-(trifluoromethyl)phenyl)amino]carbonyl]-3-hydroxy-4-(imidazol-1-yl)pyridine was prepared via intermediates 4-(imidazol-1-yl)-3-methoxy-2-cyanopyridine (from imidazole and 4-nitro-3-methoxy-2-cyanopyridine) and 4-(imidazol-1-yl)-3-methoxynicotinic acid.

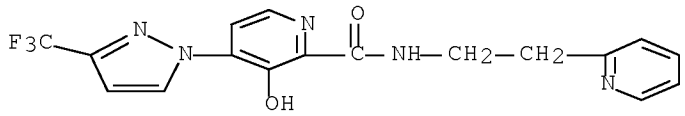
IT 488729-19-7P, N-(2-(Pyridin-2-yl)ethyl)-3-hydroxy-4-(imidazol-1-yl)pyridine-2-carboxamide 488729-48-2P, N-(2-(Pyridin-2-yl)ethyl)-3-hydroxy-4-(3-(trifluoromethyl)pyrazol-1-yl)pyridine-2-carboxamide
RL: AGR (Agricultural use); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted-picolinic acid amide derivs. useful as agrochem. fungicides)

RN 488729-19-7 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-(1H-imidazol-1-yl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



RN 488729-48-2 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[2-(2-pyridinyl)ethyl]-4-[3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l7 not l8
L9 4 L7 NOT L8

=> dis l9 1-4 bib abs fhitstr

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2010:1071113 CAPLUS Full-text
DN 153:334035
TI Preparation of pyrazole derivatives for treatment of COPD
IN Alcaraz, Marie-Lyne; Briggner, Lars-Erik; Klingstedt, Per Tomas; Loenn, Hans Roland; Nicklasson, Helena; Nixon, Robert Anthony; Watts, Andrew James; Zuban, Robert
PA Astrazeneca AB, Swed.; Astrazeneca Uk Limited
SO PCT Int. Appl., 84pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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PI	WO 2010094964	A1	20100826	WO 2010-GB50271	20100218
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	US 20100216843	A1	20100826	US 2010-706313	20100216
	AR 75523	A1	20110406	AR 2010-100488	20100218
PRAI	US 2009-154099P	P	20090220		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 153:334035

AB The title compds., i.e., 6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-{[5-(methylsulfonyl)pyridin-2-yl]methyl}-2-oxo-1-[3-(trifluoromethyl)phenyl] - 1,2-dihydropyridine-3-carboxamide 4-methylbenzenesulfonate and other pharmaceutically acceptable salts thereof were prepared for the treatment of inflammatory diseases, such as COPD. For example, 6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-2-oxo-1-[3-(trifluoromethyl)phenyl]- 1,2-dihydropyridine-3-carboxylic acid (preparation given) was treated with 1,1'-carbonyldiimidazole in acetonitrile at 50 °C and then reacted with [5-(methanesulfonyl)pyridin-2-yl]methylamine monohydrochloride (preparation given) at 50 °C to give the title compound as a free base, which was further reacted with 4-toluenesulfonic acid monohydrate for the tosylate salt. The title compound, as free base dissolved in DMSO, gave an IC50 value for inhibition of human neutrophil elastase activity of 12 nM in human neutrophil elastase Ouenched-Fret assay. Formulations containing the title compds. as active ingredients were also disclosed.

IT 1240425-05-1P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazole derivs. for treatment of COPD)

RN 1240425-05-1 CAPLUS

CN 3-Pyridinecarboxamide, 1,2-dihydro-6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-[[5-(methylsulfonyl)-2-pyridinyl]methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

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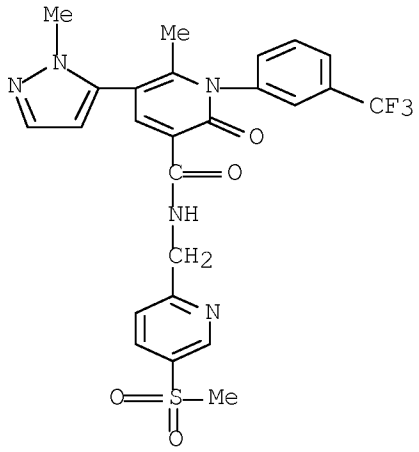
CRN 848141-11-7

CMF C25 H22 F3 N5 O4 S



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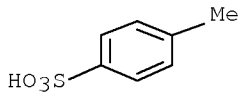
CRN 104-15-4
CMF C7 H8 O3 S



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2005:349002 CAPLUS Full-text
DN 142:373851
TI Preparation of substituted quinobenzoxazine analogs as antitumor agents
IN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terence
PA USA
SO U.S. Pat. Appl. Publ., 453 pp., Cont.-in-part of U.S. Ser. No. 821,243.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

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PI	US 20050085468	A1	20050421	US 2004-903975	20040730
	US 7354916	B2	20080408		
	US 7141565	B1	20061128	US 2004-821243	20040407
	US 20060029950	A1	20060209	US 2005-106909	20050415
	US 7507727	B2	20090324		

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     AU 2005325210         A1    20060727    AU 2005-325210          20050729
     CA 2575547            A1    20060727    CA 2005-2575547         20050729
     WO 2006078317         A1    20060727    WO 2005-US26977         20050729
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     ZA 2005008093         A     20080430    ZA 2005-8093            20051006
     US 20060229303        A1    20061012    US 2006-390810          20060328
     US 7326702            B2    20080205
     US 20070043039        A1    20070222    US 2006-431602          20060510
     US 7381720            B2    20080603
     US 20080261963        A1    20081023    US 2008-13961           20080114
     US 7612063            B2    20091103
PRAI US 2003-461271P       P     20030407
     US 2003-463171P       P     20030415
     US 2003-519535P       P     20031112
     US 2003-532727P       P     20031223
     US 2004-821243        A2    20040407
     US 2004-903975        A2    20040730
     US 2005-106909        A     20050415
     WO 2005-US26977       W     20050729
     US 2006-390810        A3    20060328
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   MARPAT 142:373851
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

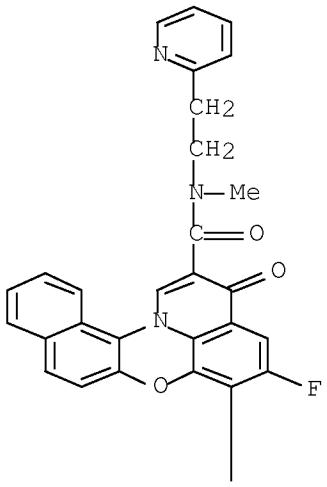
AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of

intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μM. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

IT 1056129-88-4
RL: PRPH (Prophetic)
(Preparation of substituted quinobenzoxazine analogs as antitumor agents)

RN 1056129-88-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A



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OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2005:260028 CAPLUS Full-text

DN 142:316705
TI Preparation of 2-pyridone derivatives as neutrophil elastase inhibitors and their use for treating inflammation
IN Andersson, Marjana; Hansen, Peter; Loenn, Hans; Nikitidis, Antonios; Sjoelin, Petter
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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PI	WO 2005026123	A1	20050324	WO 2004-SE1335	20040915
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	AU 2004272484	A1	20050324	AU 2004-272484	20040915
	AU 2004272484	B2	20080313		
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	BR 2004014548	A	20061107	BR 2004-14548	20040915
	CN 1882542	A	20061220	CN 2004-80033847	20040915
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	JP 4515455	B2	20100728		
	RU 2353616	C2	20090427	RU 2006-112428	20040915
	NZ 545963	A	20090925	NZ 2004-545963	20040915
	MX 2006002724	A	20060606	MX 2006-2724	20060309
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	IN 2006DN02107	A	20070713	IN 2006-DN2107	20060418
	IN 234227	A1	20090605		
	US 20070203129	A1	20070830	US 2007-572706	20070108
	IN 2009DN02359	A	20090522	IN 2009-DN2359	20090409
	IN 2009DN02360	A	20090522	IN 2009-DN2360	20090409
PRAI	SE 2003-2486	A	20030918		
	WO 2004-SE1335	W	20040915		
	IN 2006-DN2107	A3	20060418		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 142:316705; MARPAT 142:316705
GI

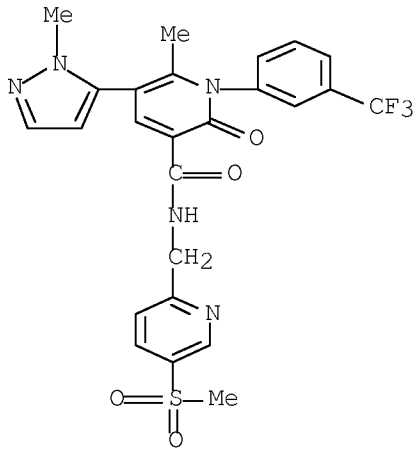
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Y = CH, CF, N; R1 = H, alkyl; R2 = (un)substituted Ph, 5- or 6-membered heteroaryl containing 1 to 4 heteroatoms; G1 = Ph, 5- or 6-membered heteroaryl containing 1 to 3 heteroatoms; each R5 = independently H, halo, CN, alkoxy, NO2, etc.; n = 1-3; R4 = H, (un)substituted alkyl; L = a bond, O, SO, SO2, S, NH, etc.; G2 = (un)substituted monocyclyl, bicyclyl; and their optical isomers, racemates, tautomers, and pharmaceutically acceptably salts] were prepared as human neutrophil elastase (HNE) inhibitors for treating inflammation. Thus, acylation of 4-methylsulfonylbenzylamine•HCl with 6-methyl-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxylic acid (preparation given), iodination, and Pd-cross coupling of the iodide with phenylboronic acid gave pyridone II. Selected I gave IC50 values for inhibition of HNE activity of less than 30 μM.

IT 848141-11-7P, 6-Methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-[[5-(methylsulfonyl)pyridin-2-yl]methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-pyridones as human neutrophil elastase inhibitors and their use for treating inflammation)

RN 848141-11-7 CAPLUS

CN 3-Pyridinecarboxamide, 1,2-dihydro-6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-[[5-(methylsulfonyl)-2-pyridinyl]methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2004:902098 CAPLUS Full-text
DN 141:395565
TI Preparation of substituted quinobenzoxazine analogs as antitumor agents
IN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terrance
PA Cyclene Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004091504	A2	20041028	WO 2004-US11108	20040407
	WO 2004091504	A3	20060105		
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	AU 2004229489	A1	20041028	AU 2004-229489	20040407
	AU 2004229489	B2	20100304		
	CA 2521810	A1	20041028	CA 2004-2521810	20040407
	EP 1610759	A2	20060104	EP 2004-759406	20040407
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004009105	A	20060425	BR 2004-9105	20040407
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	NZ 543006	A	20090331	NZ 2004-543006	20040407
	RU 2353621	C2	20090427	RU 2005-134206	20040407
	MX 2005010776	A	20060525	MX 2005-10776	20051006
	ZA 2005008093	A	20080430	ZA 2005-8093	20051006
	KR 2006029210	A	20060405	KR 2005-7019057	20051007
	KR 944600	B1	20100225		
	NO 2005004669	A	20051114	NO 2005-4669	20051011
	IN 2005KN02147	A	20070727	IN 2005-KN2147	20051031
	US 20080261963	A1	20081023	US 2008-13961	20080114
	US 7612063	B2	20091103		
	IN 2009KN02283	A	20090710	IN 2009-KN2283	20090619
PRAI	US 2003-461271P	P	20030407		
	US 2003-463171P	P	20030415		
	US 2003-519535P	P	20031112		
	US 2003-532727P	P	20031223		

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     WO 2004-US11108      W     20040407
     IN 2005-KN2147       A3    20051031
     US 2006-390810       A3    20060328
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   MARPAT 141:395565
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μM. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

IT 1056129-88-4
RL: PRPH (Prophetic)
(Preparation of substituted quinobenzoxazine analogs as antitumor agents)

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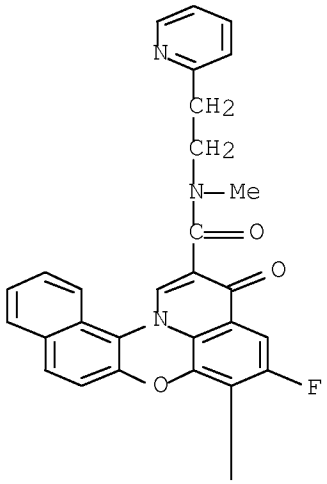
CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A



PAGE 2-A

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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